

FIG. 1

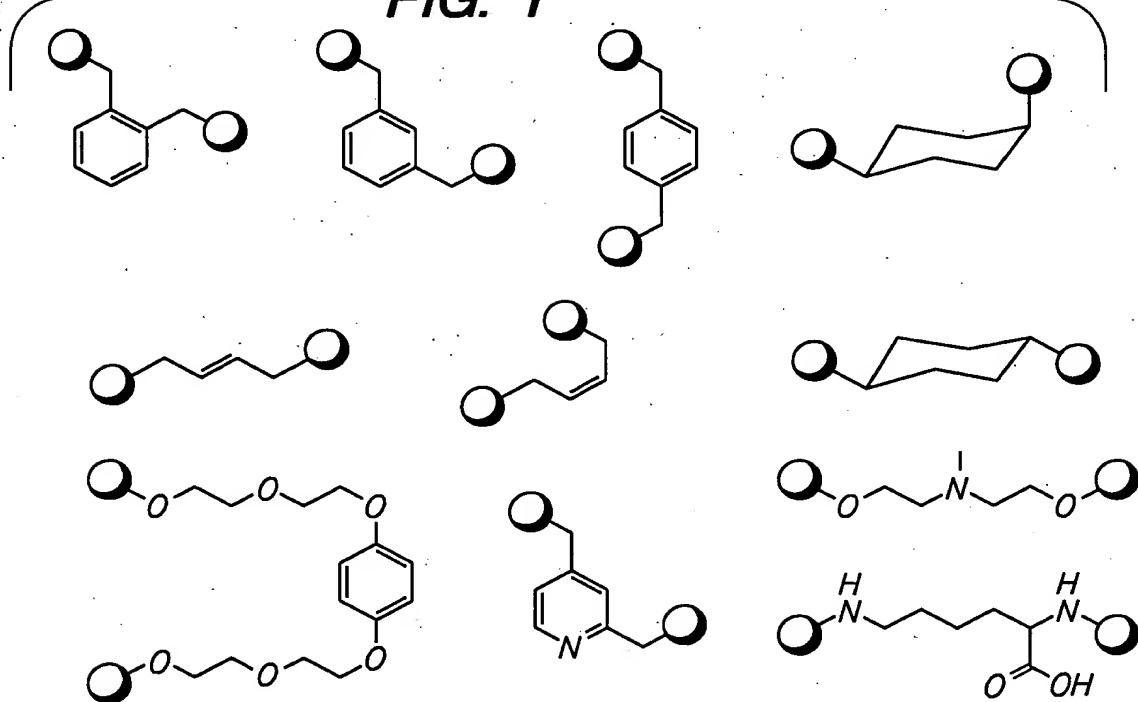


FIG. 2

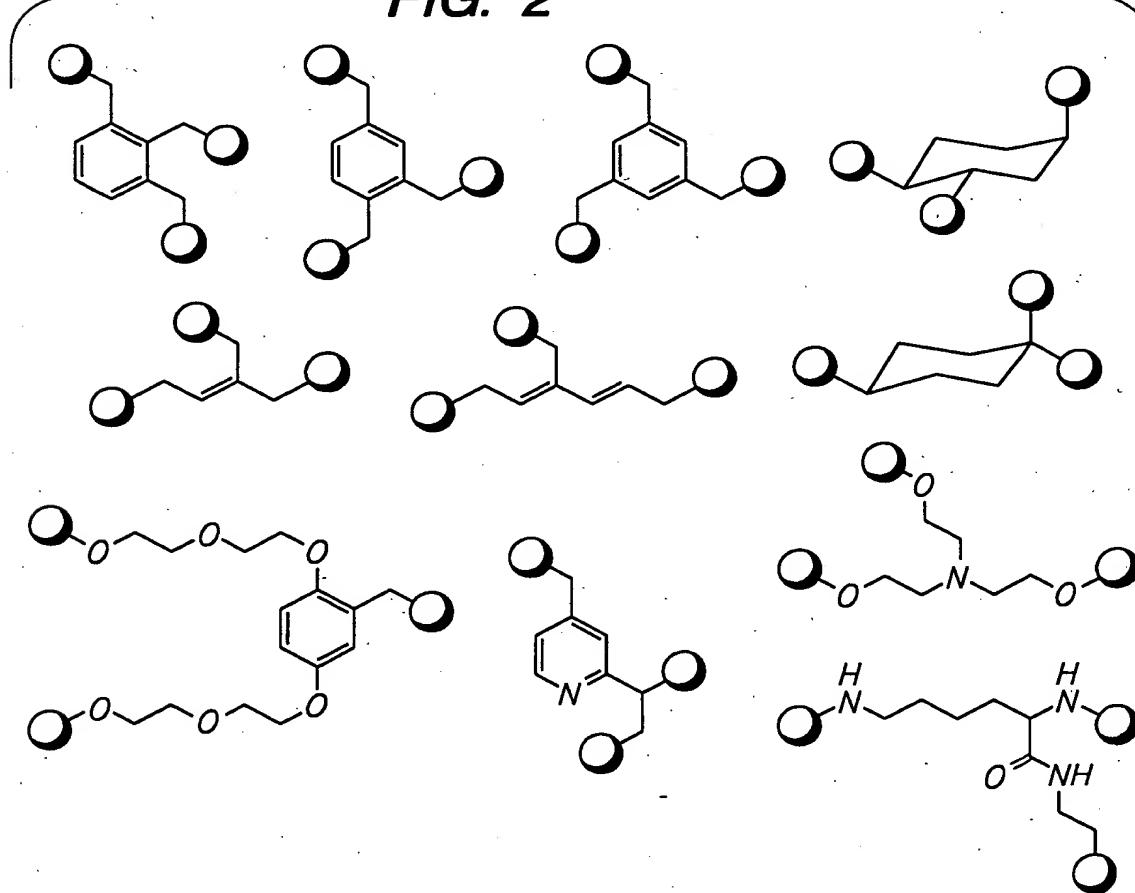


FIG. 3

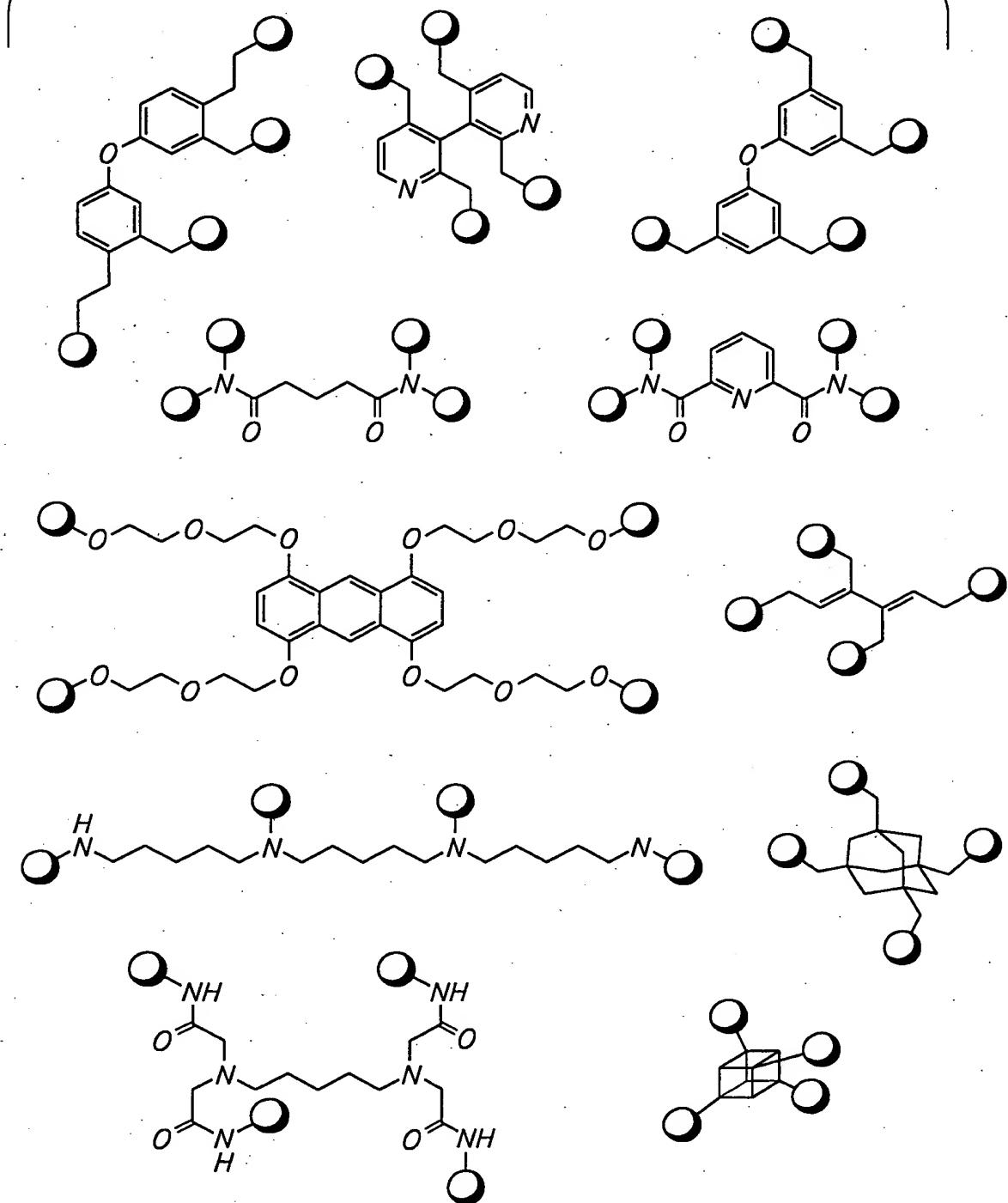
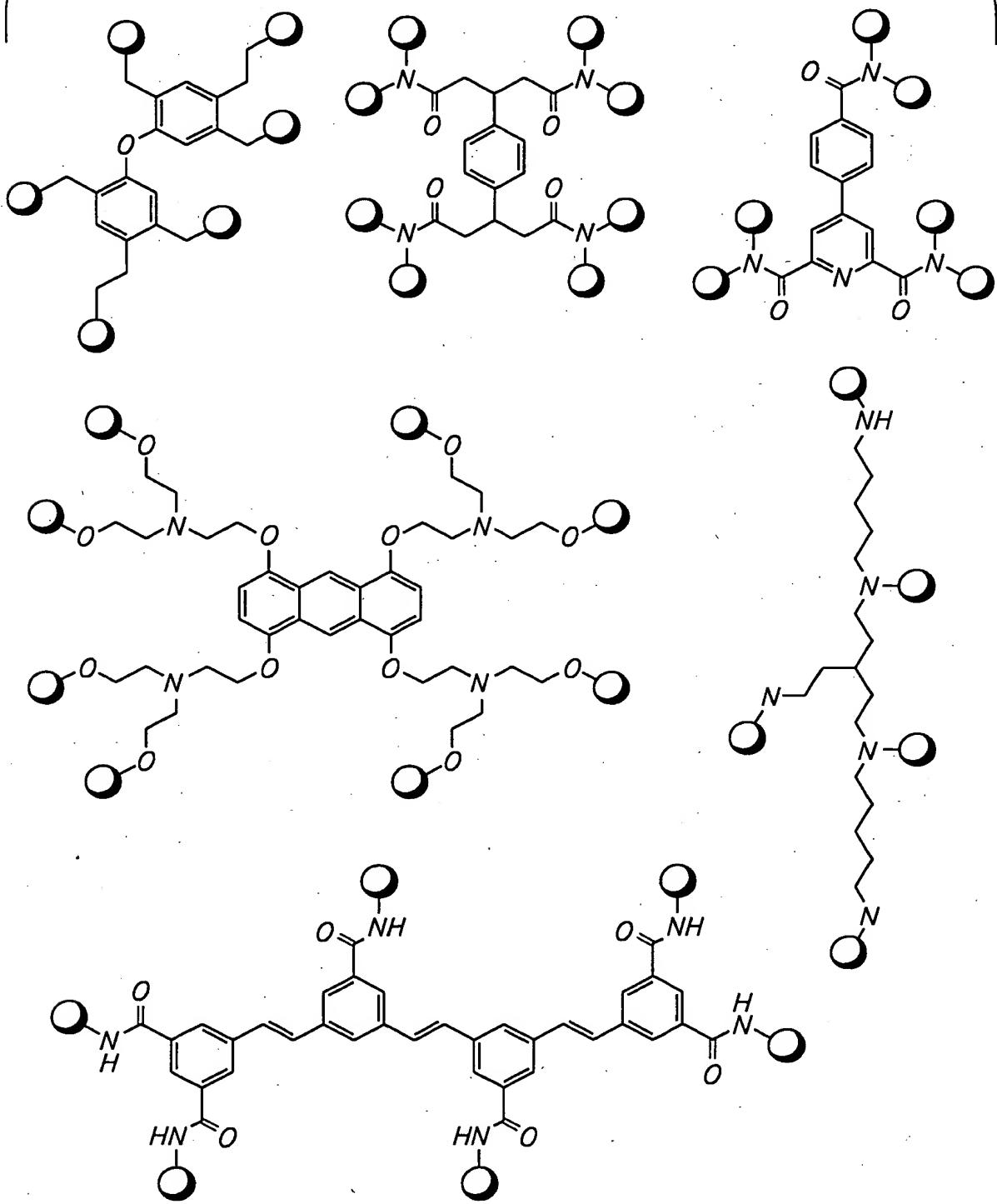
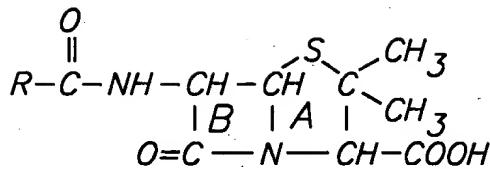


FIG. 4





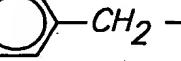
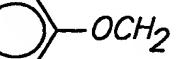
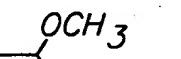
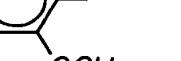
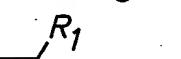
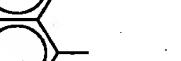
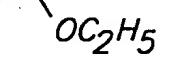
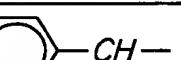
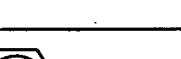
R SIDE CHAIN	NONPROPRIETARY NAME
	Penicillin G
	Penicillin V
	Methicillin
	
	Oxacillin (R1 = R2 = H) Cloxacillin (R1 = Cl; R2 = H) Dicloxacillin (R1 = R2 = Cl)
	
	Nafcillin
	Ampicillin (R = H)
	Amoxicillin (R = OH)
	Carbenicillin (R = H)
	Carbenicillin indanyl (R = 5-indanol)
	Ticarcillin

FIG. 5

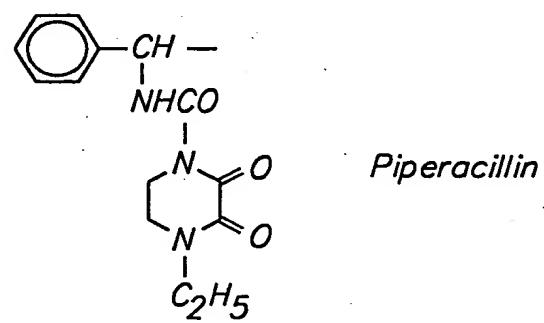
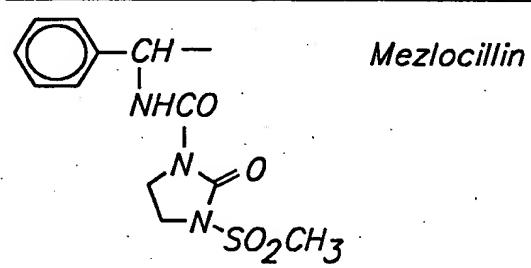
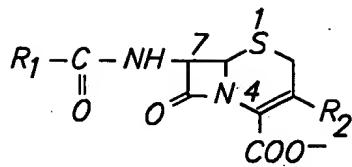


FIGURE 5 CONT'D

FIG. 6A



Cephem nucleus

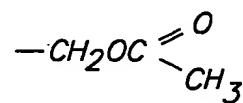
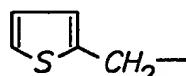
**COMPOUND
(TRADE NAMES)**

R₁

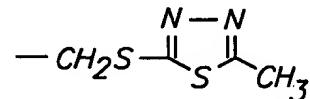
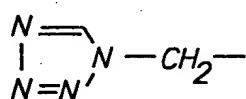
R₂

First-Generation

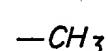
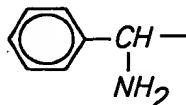
*Cephalothin
(KEFLIN)*



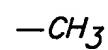
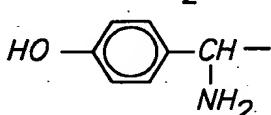
*Cefazolin
(ANCEF, KEFZOL, others)*



*Cephalexin
(KEFLET, KEFLEX)*

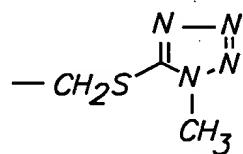
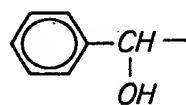


*Cefadroxil
(DURICEF, ULTRACEF)*

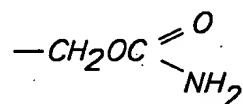
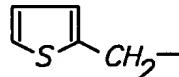


Second-Generation

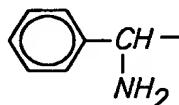
*Cefamandole
(MANDOL)*



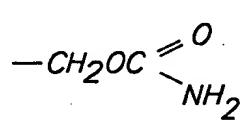
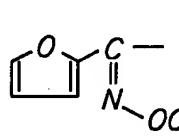
*Cefoxitin +
(MEFOXIN)*



*Cefaclor
(CECLOR)*

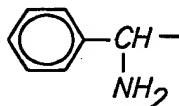


*Cefuroxime
(KEFUROX, ZINACEF)*

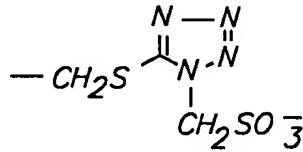
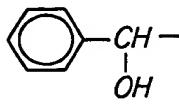


*Cefuroxime axetil +
(CEFTIN)*

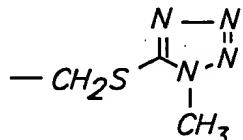
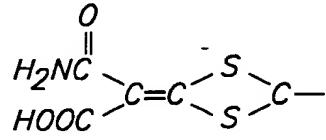
*Loracarbef ++
(CEFZIL)*

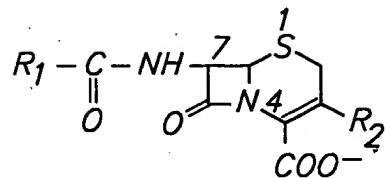


*Cefonicid
(MONOCID)*



*Cefotetan
(CEFOTAN)*





Cephem nucleus

COMPOUND (TRADE NAMES)	R_1	R_2
<i>Second-Generation CONTINUED</i>		
<i>Ceforanide (PRECEF)</i>		
<i>Third-Generation</i>		
<i>Cefotaxime (CLAFORAN)</i>		$-\text{CH}_2\text{OC}(=\text{O})\text{CH}_3$
<i>Cefpodoxime proxetil** (VANTIN)</i>		$-\text{CH}_2\text{OCH}_3$
<i>Ceftizoxime (CEFTZOX)</i>		$-\text{H}$
<i>Ceftriaxone (ROCEPHIN)</i>		
<i>Cefoperazone (CEFOBID)</i>		

FIG. 6B-1

COMPOUND (TRADE NAMES)	R_1	R_2
<i>Third-Generation (continued)</i>		
Ceftazidime (FORTAZ, others)	$ \begin{array}{c} \text{H}_2\text{N} \quad \text{N} \quad \text{C} \\ \parallel \quad \text{S} \quad \text{C} \quad \parallel \\ \text{OC(CH}_3)_2\text{COOH} \end{array} $	$ -\text{CH}_2\text{N}^+ \text{C}_6\text{H}_5 $
<i>Fourth-Generation</i>		
Cefepime	$ \begin{array}{c} \text{H}_2\text{N} \quad \text{N} \quad \text{C} \\ \parallel \quad \text{S} \quad \text{C} \quad \parallel \\ \text{OCH}_3 \end{array} $	$ \begin{array}{c} \text{H}_3\text{C} \\ \\ -\text{CH}_2\text{N}^+ \text{C}_4\text{H}_9 \end{array} $

- + *Cefoxitin, a cephamycin, has a $-\text{OCH}_3$ group at position 7 of cephem nucleus.*
- + *Cefuroxime axetil is the acetyloxyethyl ester of cefuroxime.*
- ++ *Loracarbef, a carbacephem, has a carbon instead of sulfur at position 1 of cephem nucleus.*
- ** *Cefpodoxime proxetil has a $-\text{COOCH}(\text{CH}_3)\text{OCOOCH}(\text{CH}_3)_2$ group at position 4 of cephem nucleus.*

FIG.6B-2

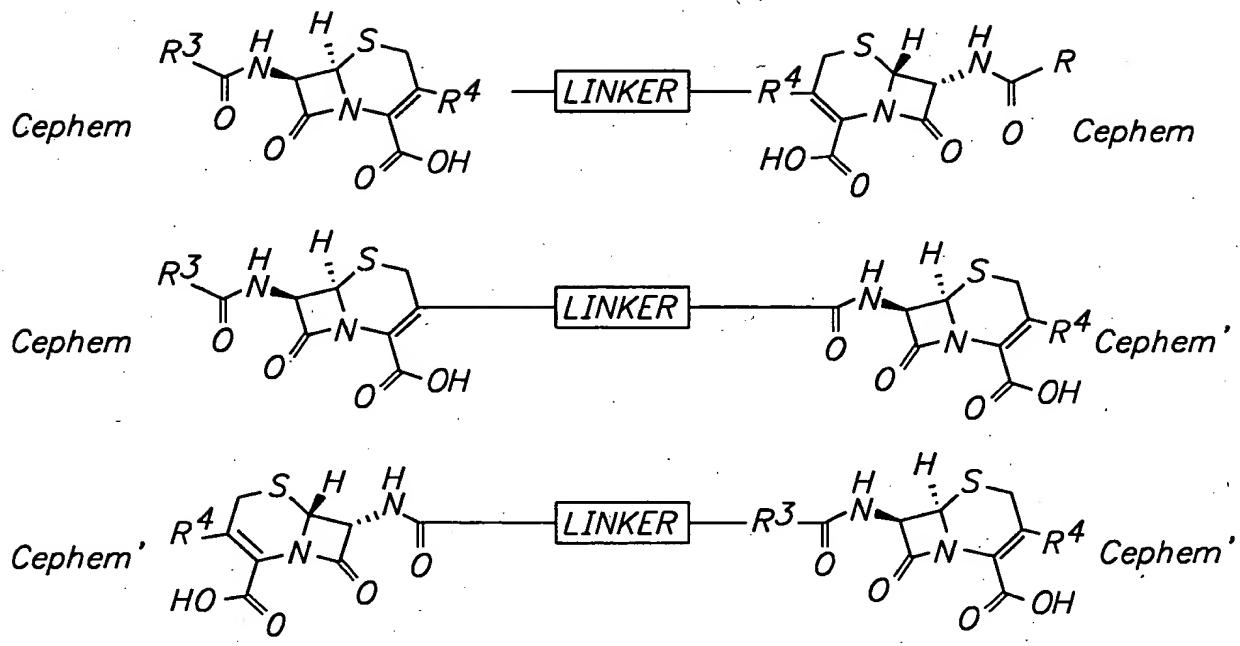


FIG. 7A

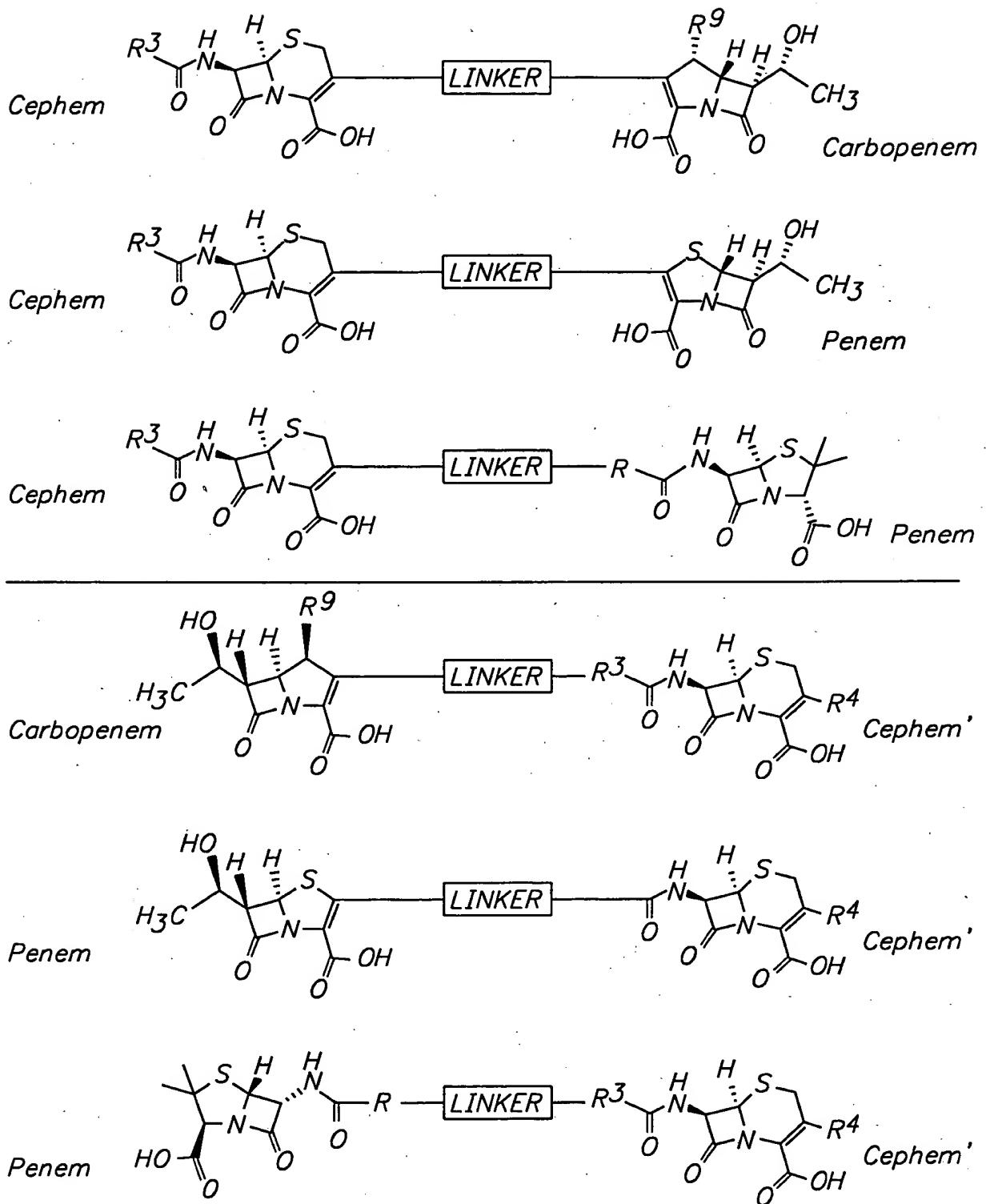


FIG. 7B

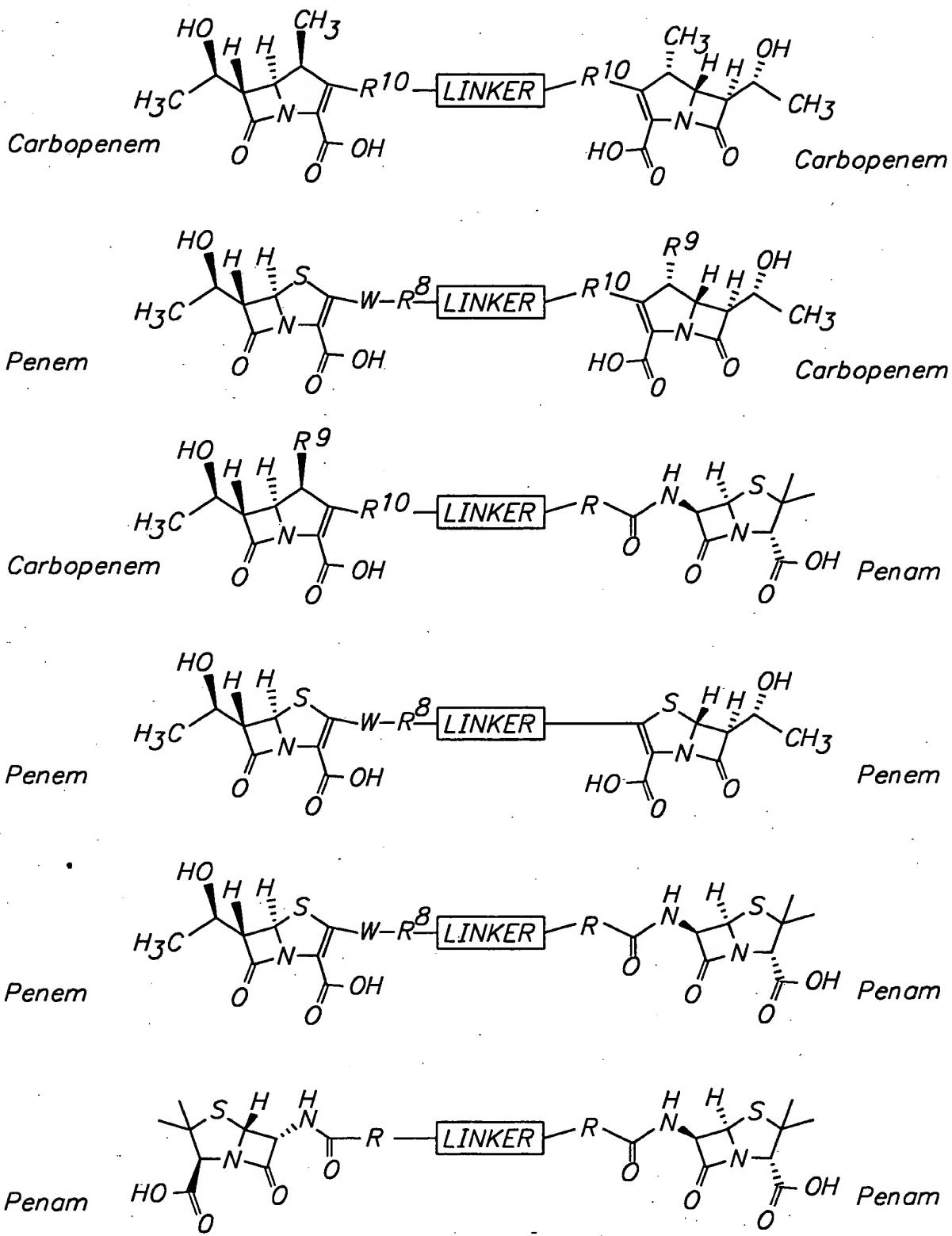


FIG. 8A

Likewise:

Oxacephem-Cephem
Oxacephem-Cepham'
Oxacephem-Penam
Oxacephem-Penem
Oxacephem-Carbopenem
Oxacephem-Carbacephem
Oxacephem-Trinem
Oxacephem-Monobactam
Oxacephem-Monobactam'

Likewise:

Carbacephem-Cephem
Carbacephem-Cepham'
Carbacephem-Penam
Carbacephem-Penem
Carbacephem-Carbopenem
Carbacephem-Carbocephem
Carbacephem-Trinem
Carbacephem-Monobactam
Carbacephem-Monobactam'

Likewise:

Trinem-Cephem
Trinem-Cepham'
Trinem-Penam
Trinem-Penem
Trinem-Carbopenem
Trinem-Carbocephem
Trinem-Trinem
Trinem-Monobactam
Trinem-Monobactam'

Likewise:

Monobactam-Cephem
Monobactam-Cepham'
Monobactam-Penam
Monobactam-Penem
Monobactam-Carbopenem
Monobactam-Monobactam
Monobactam-Monobactam'
Monobactam'-Monobactam'

FIG. 8B

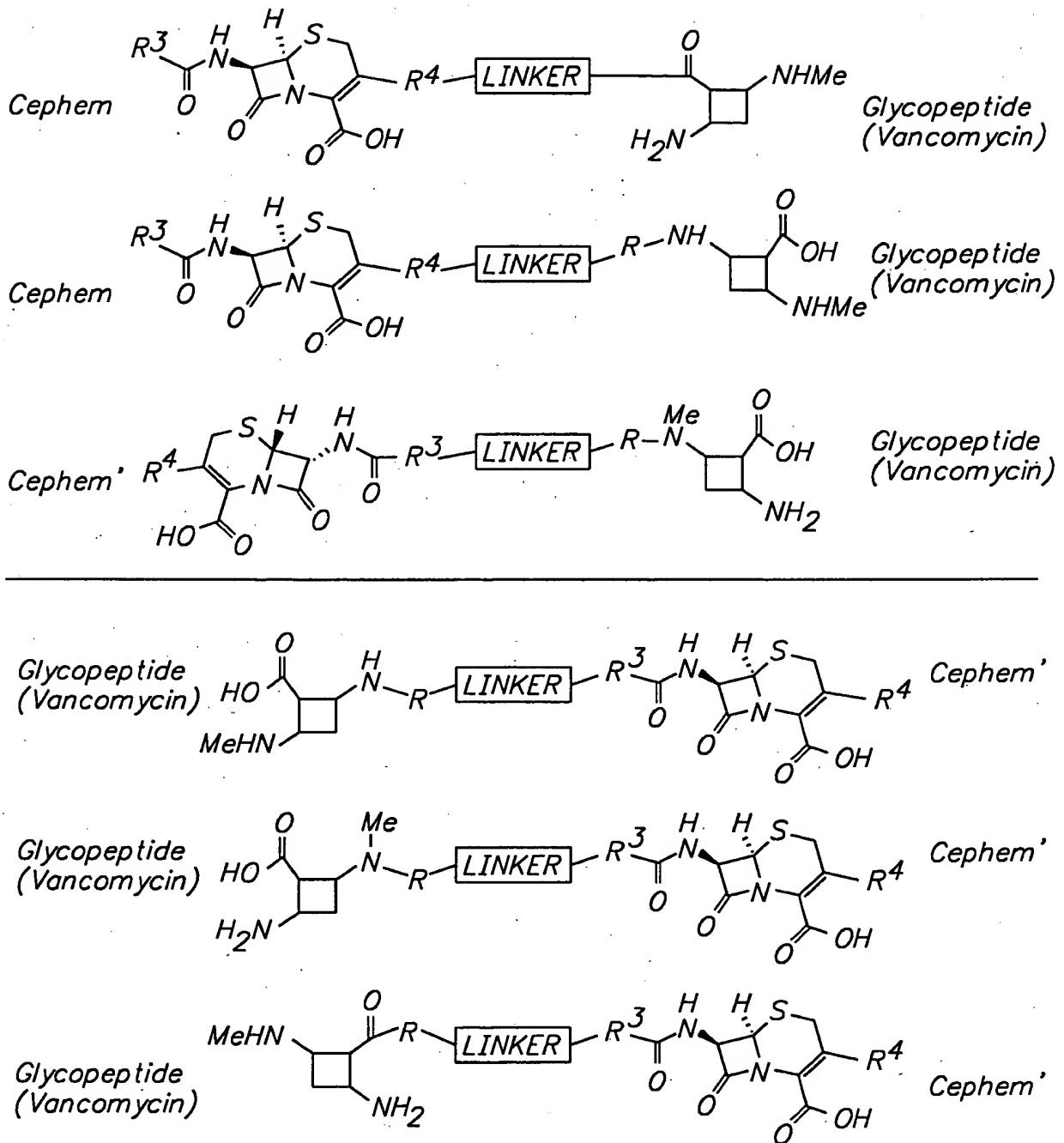
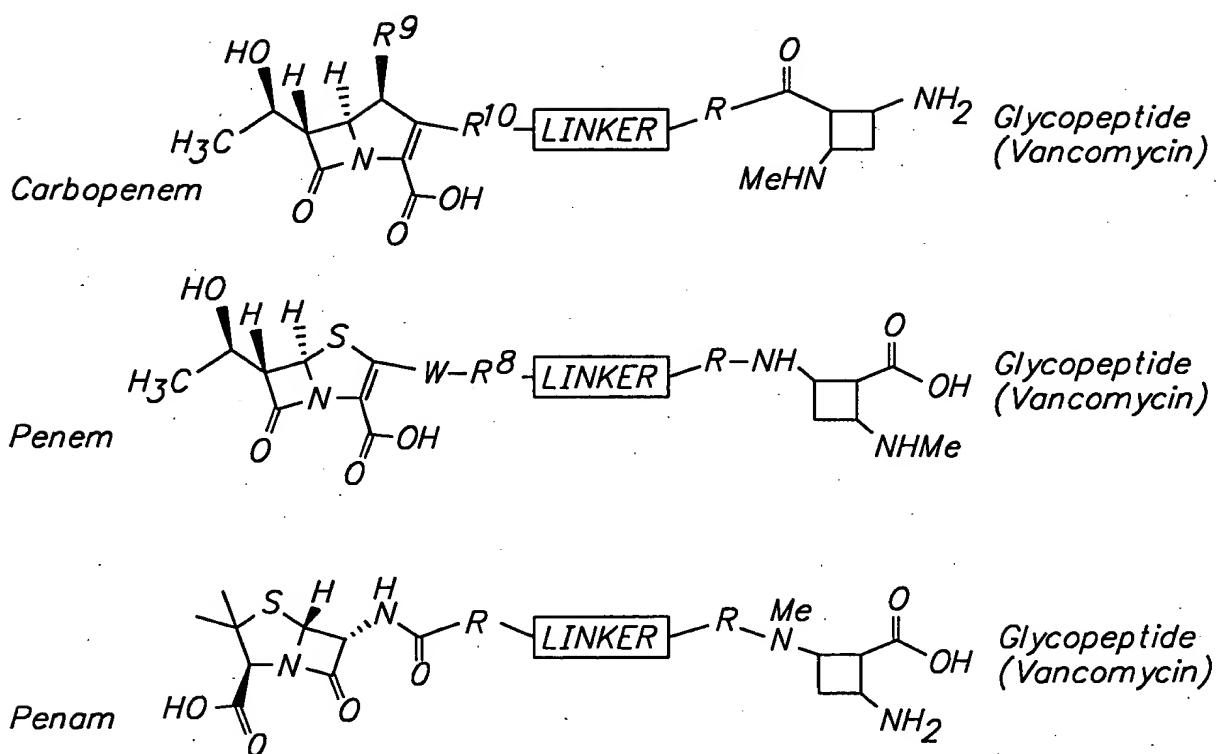


FIG. 9



Likewise Glycopeptide Antibiotic Linked to these betalactam Antibiotics:

Oxacephem – Glycopeptide Antibiotic

Trinem – Glycopeptide Antibiotic

Carbacephem – Glycopeptide Antibiotic

Monobactam – Glycopeptide Antibiotic

Monobactam' – Glycopeptide Antibiotic

FIG. 10

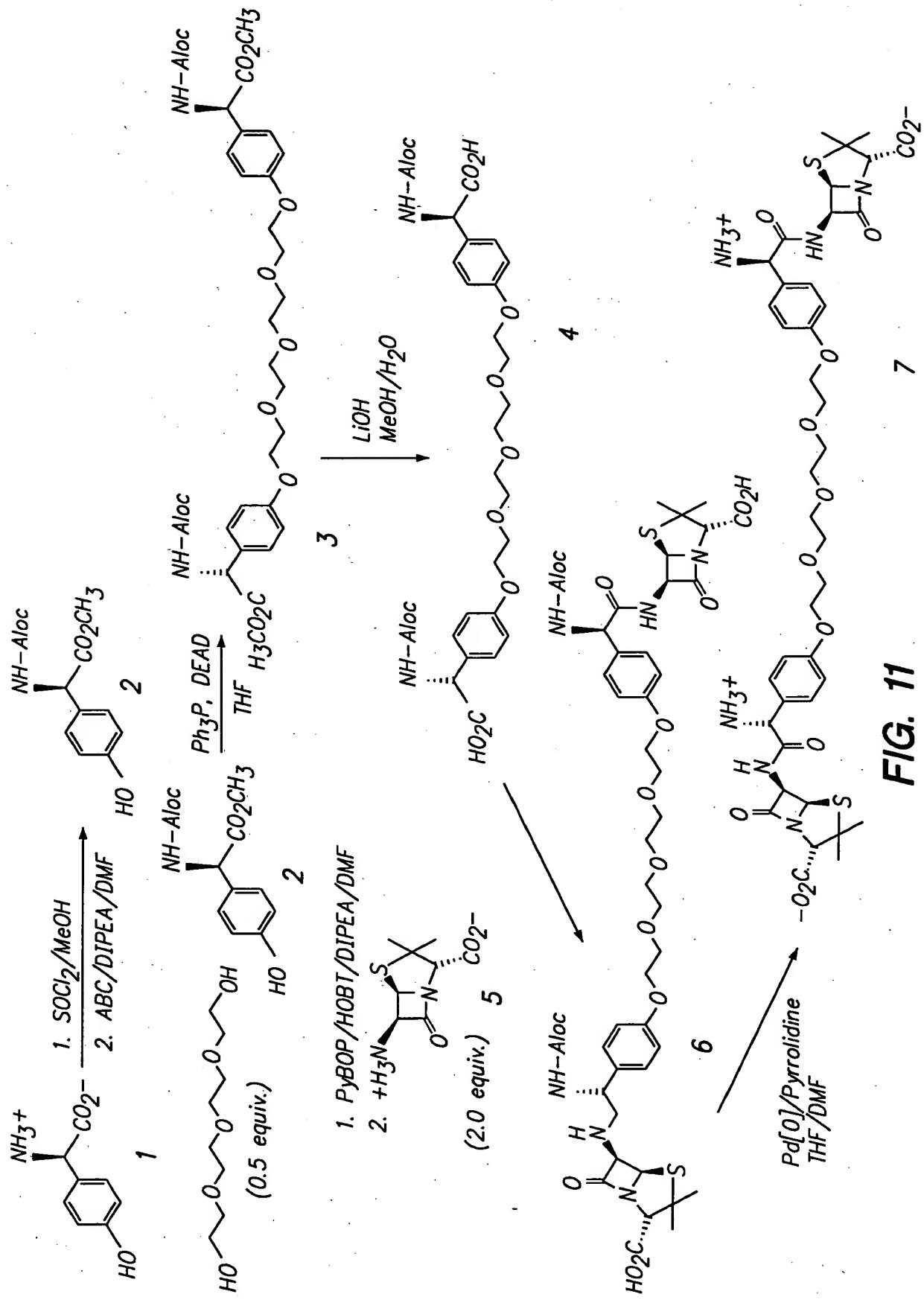


FIG. 11

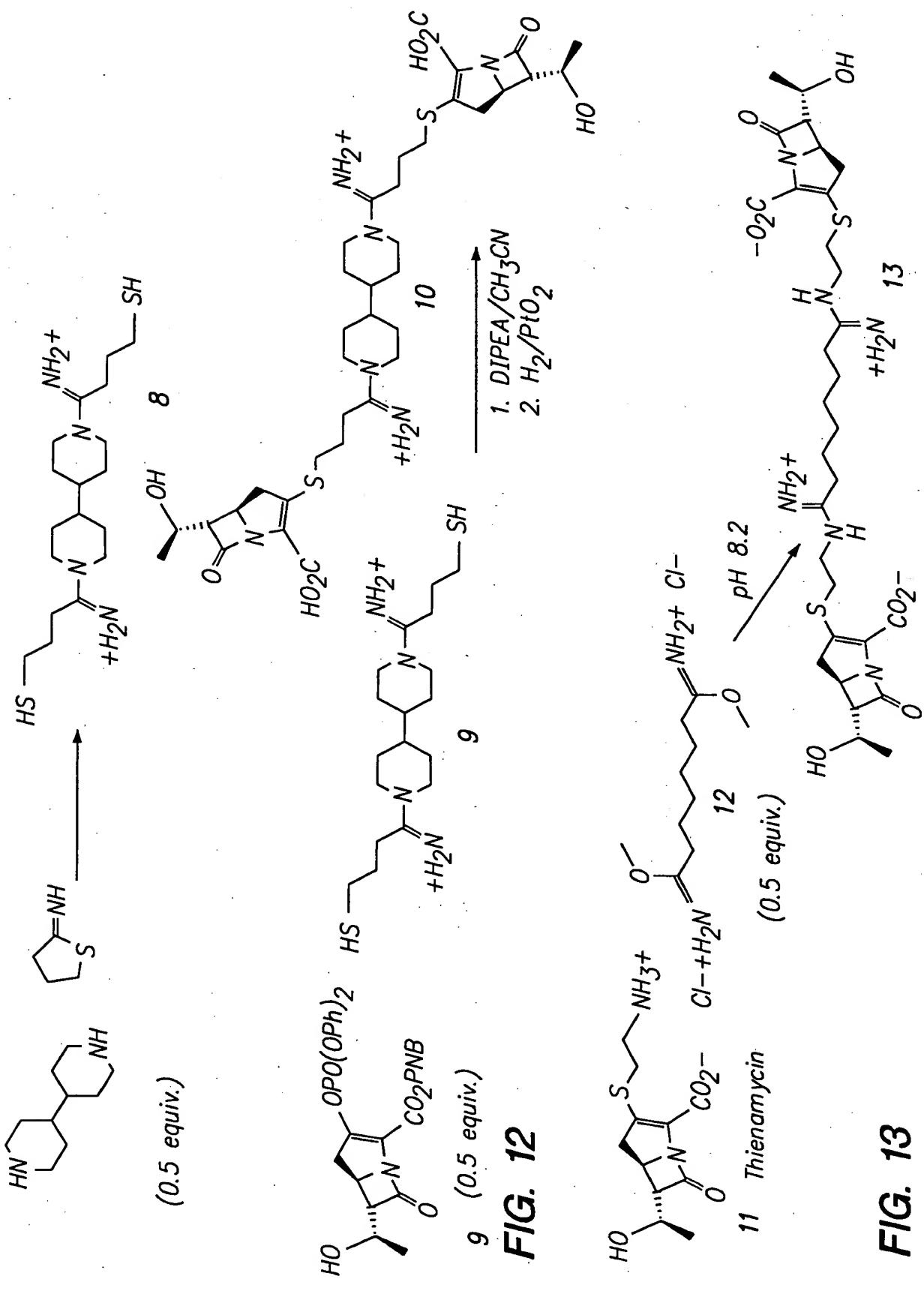
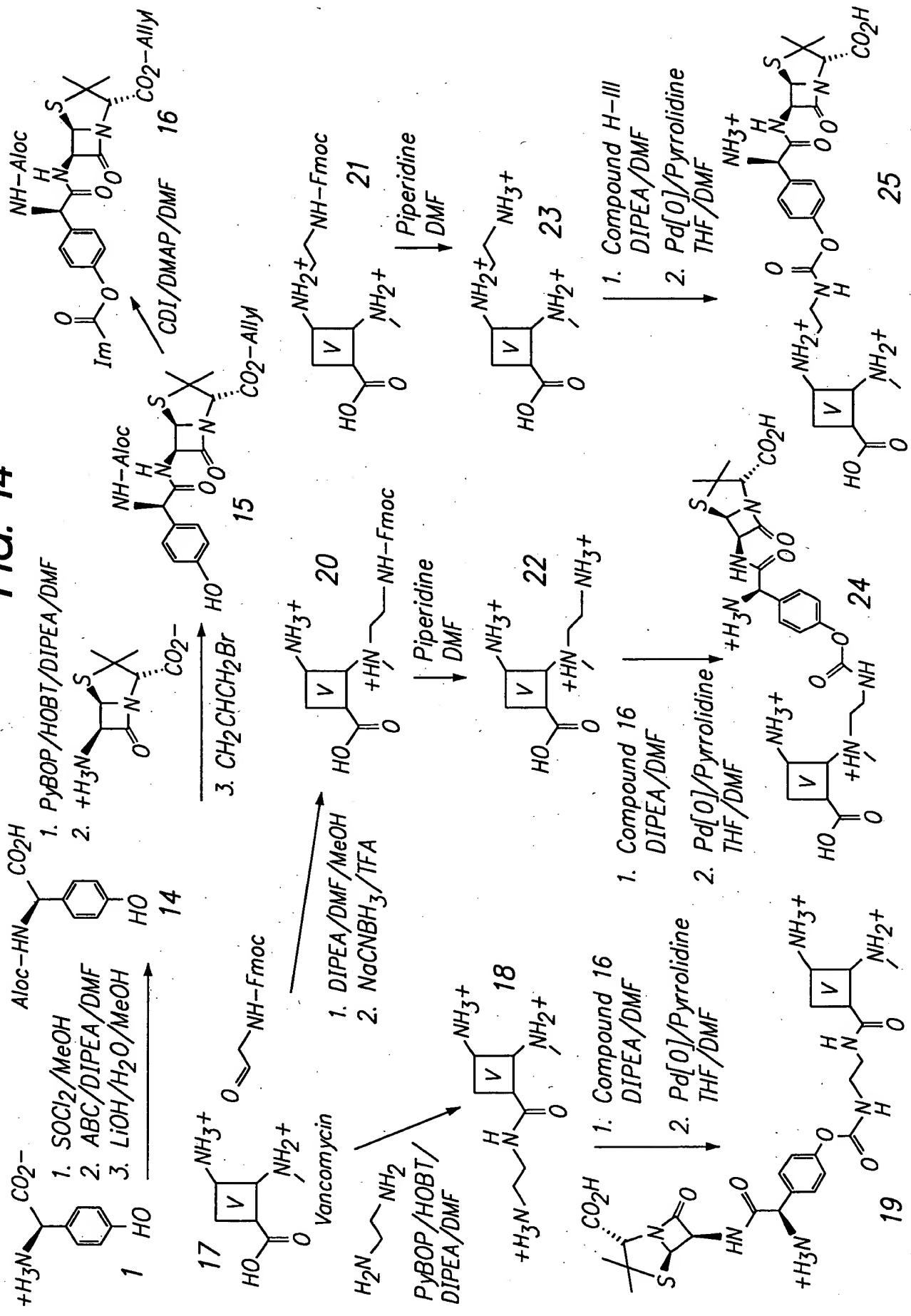


FIG. 12

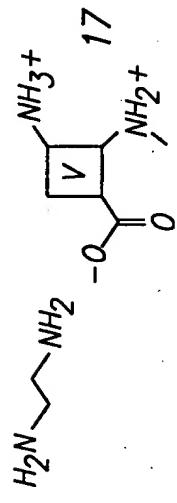
FIG. 13

FIG. 14

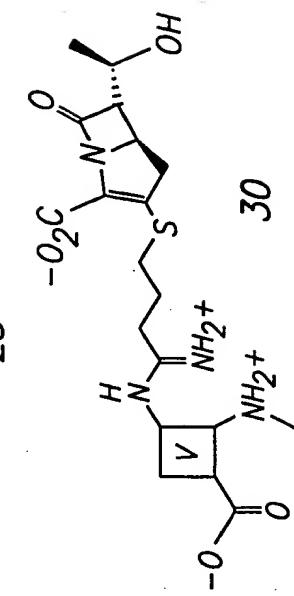
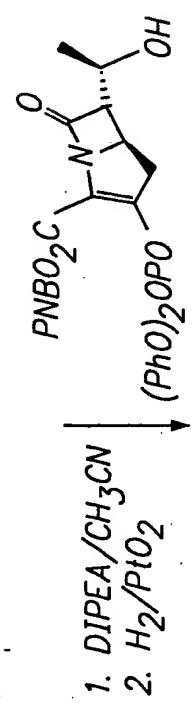
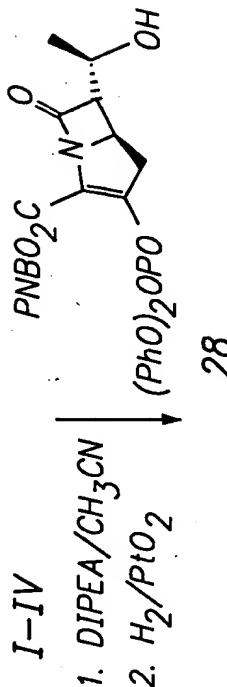
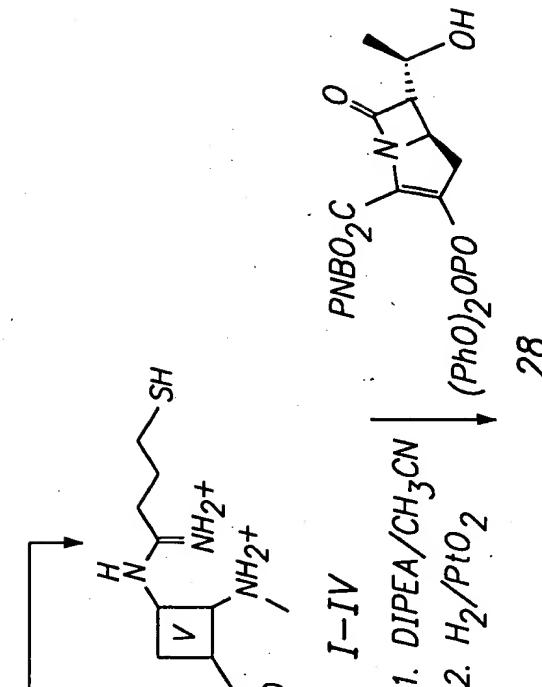
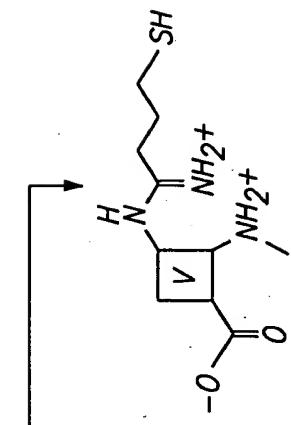
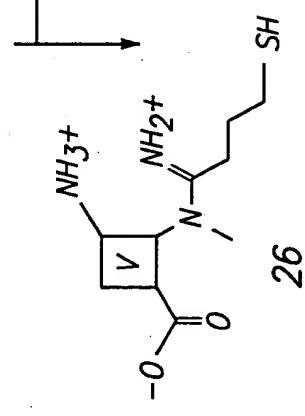


PyBOP / HOBT / DIPEA
DMF

PyBOP / HOBT / DIPEA
DMF



Vancomycin



29

O

FIG. 15

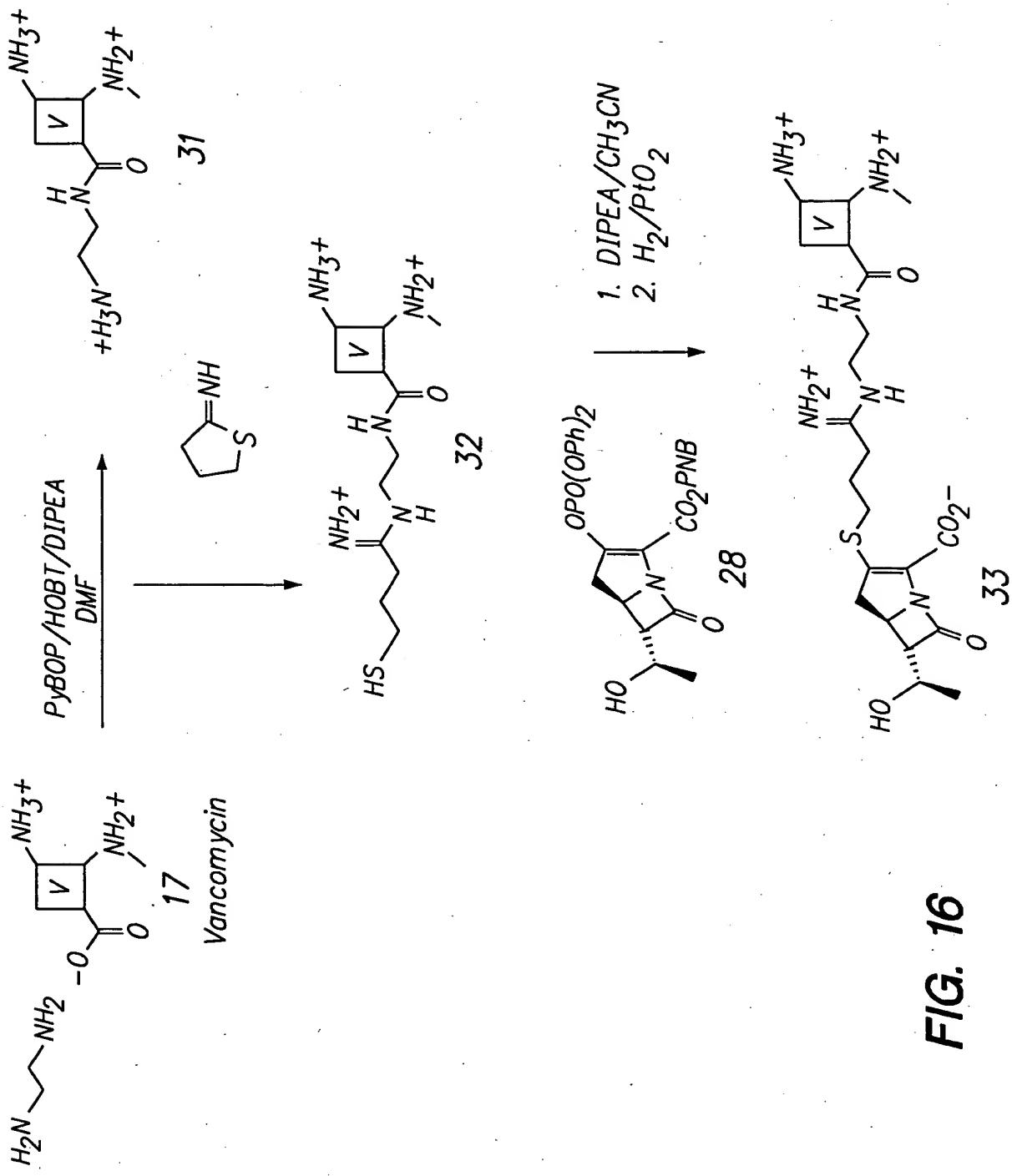
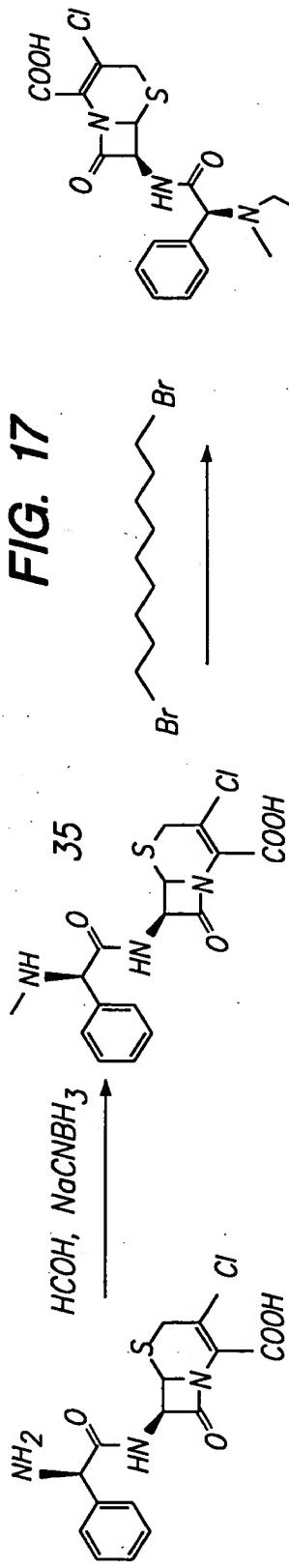


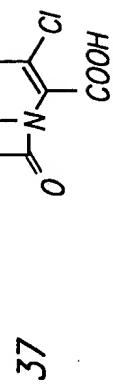
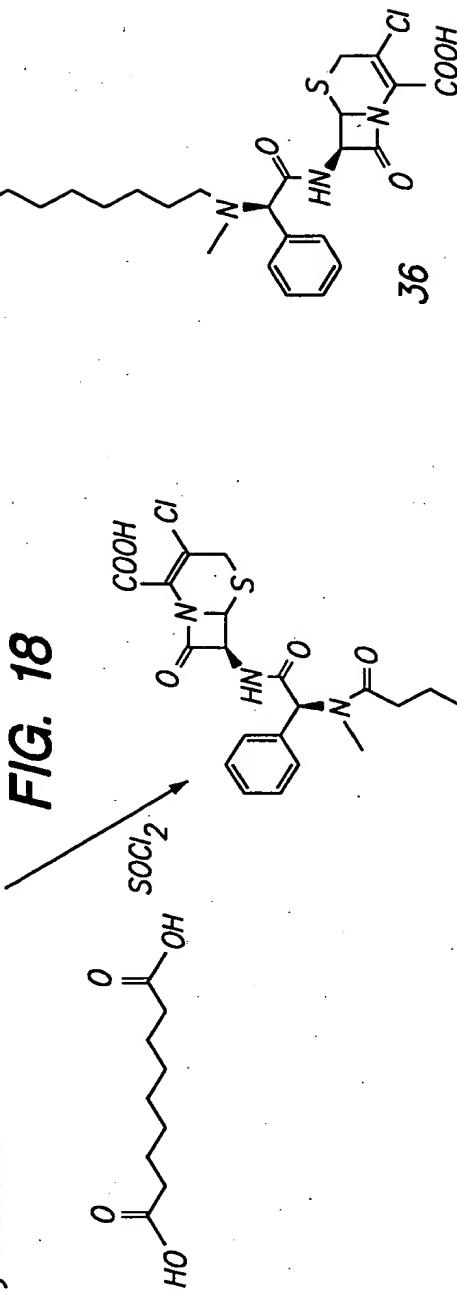
FIG. 16



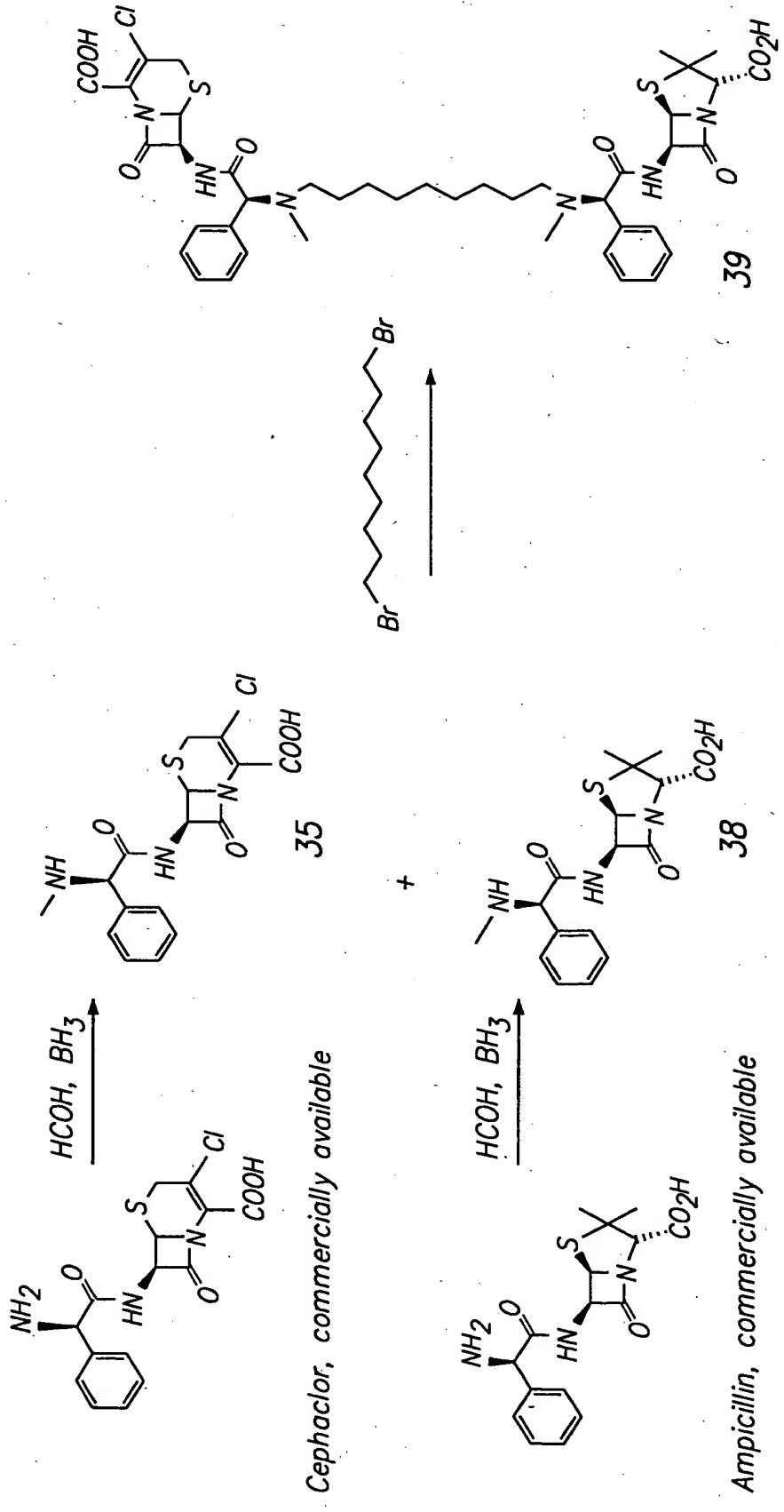
Cephaclor, commercially available

34

FIG. 18



F/G. 19



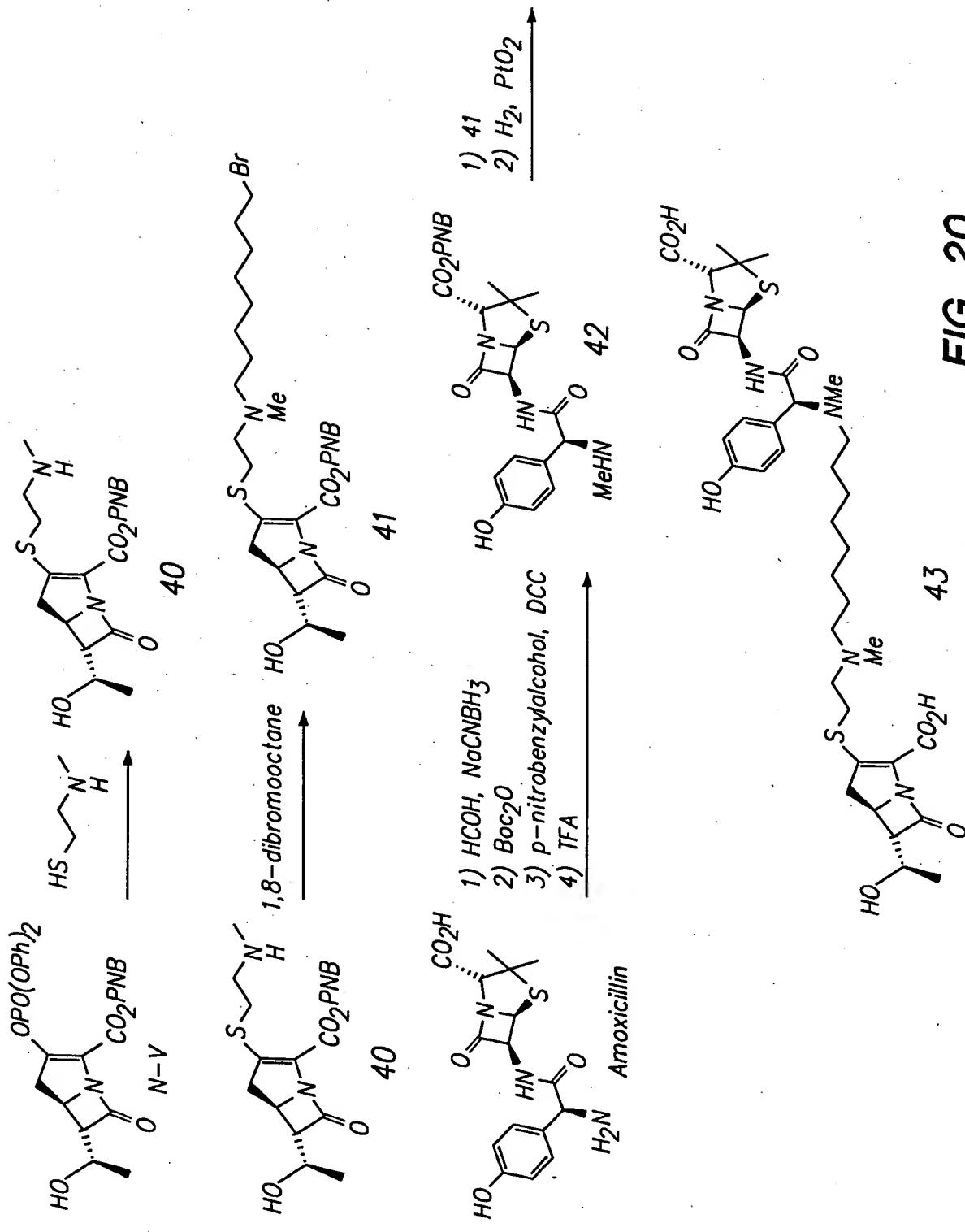


FIG. 20

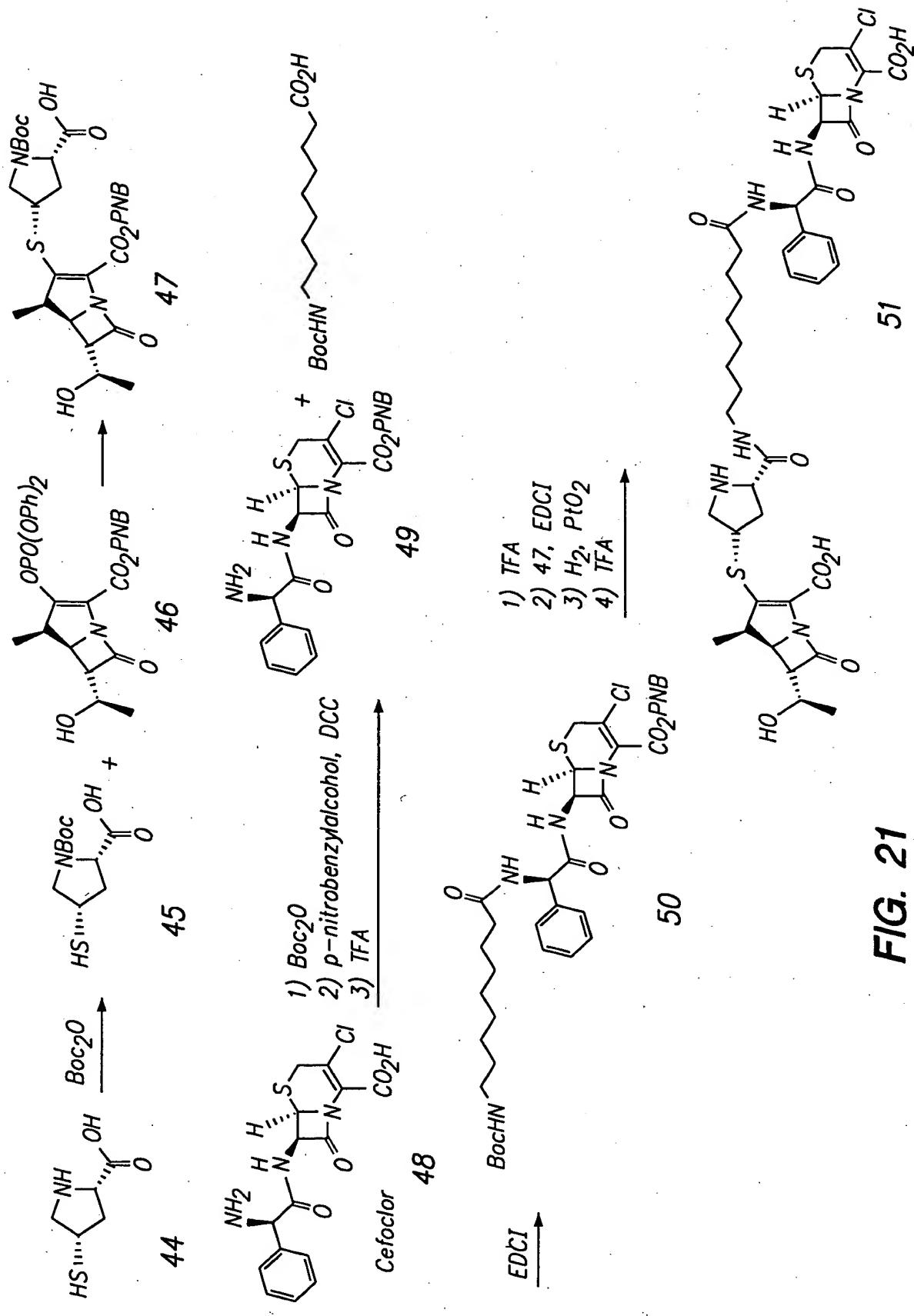


FIG. 21

FIGURE 22

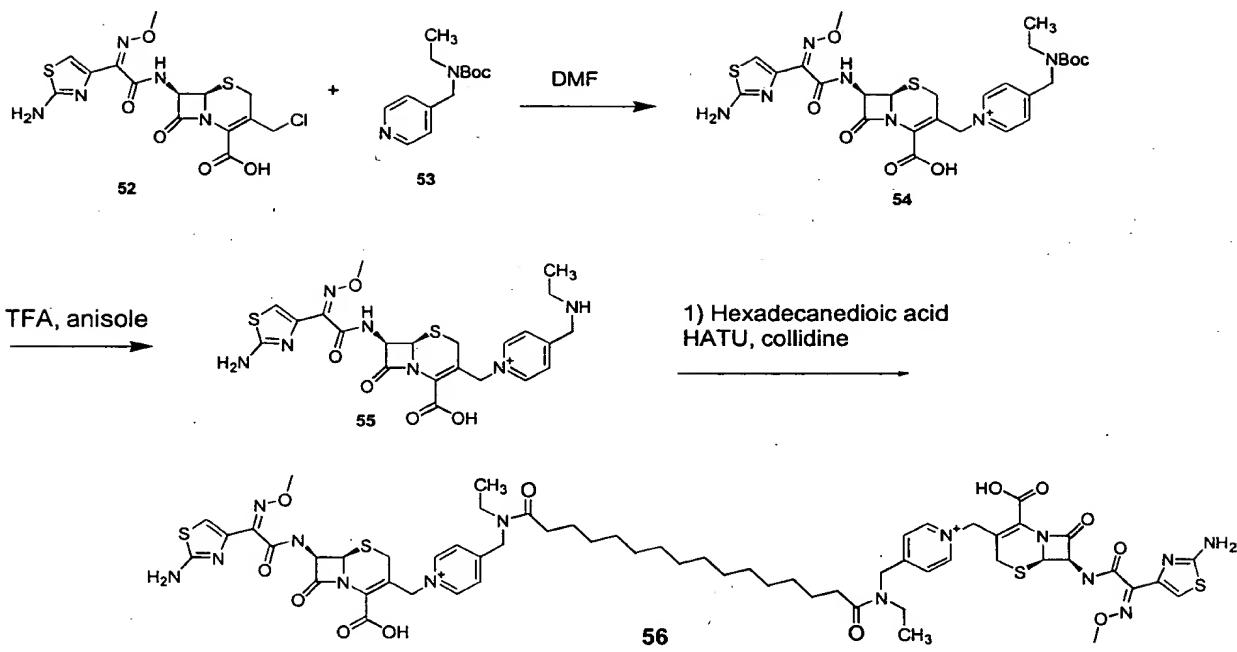


Figure 23

